## **CLAIMS**

1. Process for the preparation of a 2-(n-alkyl)-3-(4-hydroxybenzoyl)benzofuran of formula (I)

$$R1$$
  $R$   $(I)$ 

in which R represents a linear or branched alkyl radical including from 1 to 5

carbon atoms and R1 represents a linear or branched alkyl radical including from

1 to 3 carbon atoms, a linear or branched alkoxy radical including from 1 to 3

carbon atoms, a halogen atom or a nitro radical,

in which

15

5

a) a 2-alkyl-3-carboxybenzofuran of formula (II)

20

in which R and R1 have the meanings already indicated, is reacted with a halogenating agent to produce the compound of formula (III)

$$R1$$
 $O$ 
 $R$ 
 $(III)$ 

in which X represents a halogen atom and R and R1 have the meanings already indicated,

b) then the compound of formula (III) is reacted with an alkyl phenyl ether of formula

in which R2 represents a linear or branched alkoxy radical including from 1 to 5 carbon atoms,

in the presence of a Lewis acid, to produce a mixture of 2-alkyl-3-(4-alkoxybenzoyl)benzofuran of formula (IV) and of 2-alkyl-3-(2-alkoxybenzoyl)benzofuran of formula (IVa)

15

25

10

5

$$R1$$
 $R2$ 
 $(IV)$ 

in which R, R1 and R2 have the meanings already indicated,

c) and the mixture is subjected to a dealkylation reaction to produce the product of formula (I), which is isolated, if desired.

PCT/IB2004/004158

2. Process according to Claim 1, characterized in that the halogenating agent is chosen from phosphorus trichloride PCl<sub>3</sub>, phosphorus pentachloride PCl<sub>5</sub>, phosphorus oxychloride POCl<sub>3</sub>, oxalyl chloride (COCl)<sub>2</sub>, phosgene COCl<sub>2</sub> and thionyl chloride SOCl<sub>2</sub>.

16

5

WO 2005/066149

- 3. Process according to Claim 1 or 2, characterized in that the amount of halogenating agent employed is such that the halogenating agent/compound of formula (II) molar ratio has a value from 1 to 5.
- 10 4. Process according to one of Claims 1 to 3, characterized in that the alkyl phenyl ether is anisole.
  - 5. Process according to one of Claims 1 to 4, characterized in that the reaction of the compound of formula (II) with the halogenating agent is carried out in the presence of an organic solvent chosen from halogenated aliphatic and/or aromatic hydrocarbons and alkyl phenyl ethers.
  - 6. Process according to either of Claims 4 and 5, characterized in that the reaction of the compound of formula (II) with the halogenating agent is carried out in the presence of an organic solvent which is anisole.
    - 7. Process according to one of Claims 1 to 6, characterized in that the amount of alkyl phenyl ether employed is such that the alkyl phenyl ether/compound of formula (III) molar ratio is from 1 to 10.

25

15

20

- 8. Process according to one of Claims 1 to 7, characterized in that the temperature of the reaction between the compound of formula (III) and the alkyl phenyl ether is between -5°C and ambient temperature.
- 9. Process according to one of Claims 1 to 8, characterized in that the reaction between the compound of formula (III) and the alkyl phenyl ether is carried out in the presence of an organic solvent which is a halogenated aliphatic and/or aromatic hydrocarbon or an alkyl phenyl ether.
- 35 10. Process according to one of Claims 1 to 9, characterized in that the Lewis acid used in the reaction between the compound of formula (III) and the alkyl phenyl

ether is an aluminium halide, a boron halide, a titanium halide, a tin halide, a bismuth halide, an iron halide or aluminium chloride, preferably aluminium chloride.

- 5 11. Process according to one of Claims 1 to 10, characterized in that the amount of Lewis acid is such that the Lewis acid/compound of formula (III) molar ratio is from 1 to 10.
- 12. Process according to one of Claims 1 to 11, characterized in that the dealkylation is carried out under hot conditions in the presence of a Lewis acid.
  - 13. Process according to Claim 12, characterized in that the Lewis acid used in the alkylation reaction is an aluminium halide, a boron halide, a titanium halide, a tin halide, a bismuth halide, an iron halide or aluminium chloride.

15

- 14. Process according to one of Claims 1 to 13, characterized in that the amount of Lewis acid employed in the dealkylation stage is such that the Lewis acid/compound of formula (IV) and (IVa) molar ratio is from 1 to 10.
- 20 15. Process according to one of Claims 12 to 14, characterized in that the heating temperature in the dealkylation stage is from 40°C to 100°C.
  - 16. A compound of formula (V)

25

30

in which R3 represents a hydroxyl radical or has the meaning of X already indicated in Claim 1, R4 represents a linear or branched alkyl radical including from 2 to 5 carbon atoms and R'<sub>1</sub> represents a nitro radical.

- 17. A compound according to Claim 16, characterized in that R'<sub>1</sub> represents a nitro radical in the 5 position and R4 represents an n-butyl radical.
- 18. Process for the preparation of a 2-(n-alkyl)-3-carboxybenzofuran of formula (II), characterized in that a 3-(1-hydroxyalkylidene)-3H-benzofuran-2-one of formula (VI):

5

15

20

25

or its 3-alkanoyl-3H-benzofuran-2-one ketonic tautomeric form of formula (VII):

in which R4 and R'<sub>1</sub> have the meanings already indicated in Claim 16, is treated by heating and by an acid catalyst in concentrated aqueous solution at at least 80% by weight and then in that the expected product of formula (II) is isolated.

- 19. Process according to Claim 18, characterized in that the treatment by heating of the compound of formula (VI) or of formula (VII) is carried out in a carboxylic acid.
  - 20. Process according to either of Claims 18 and 19, characterized in that the acid catalyst in concentrated aqueous solution is concentrated sulphuric acid at between 80% and 95% by weight.